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PLEASE PRINT CLEARLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner # : 59193 Date: 2/24/02

Art Unit: 1624 Phone Number: 2- 0663 Serial Number: 10524397

Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

****

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: _____

Inventors (please provide full names): _____

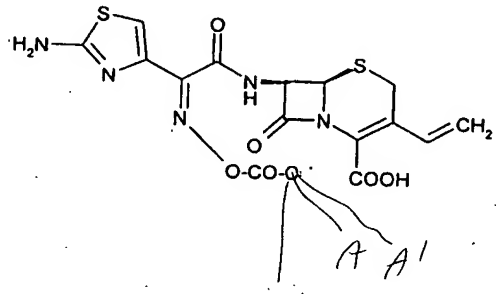
_____

Earliest Priority Date: _____

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

For Sequence Searches Only *Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



all A, A', A'' = H, CH3

Compound must be multicomponent

1614
1607

****

STAFF USE ONLY

Searcher: Mary

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 2/24

Searcher Prep & Review Time: _____

Online Time: 7

Type of Search

_____ NA Sequence (#)

_____ AA Sequence (#)

2 Structure (#)

_____ Bibliographic

_____ Litigation

_____ Fulltext

_____ Other

Vendors and cost where applicable

411.9 STN _____ Dialog

_____ Questel/Orbit _____ Lexis/Nexis

_____ Westlaw _____ WWW/Internet

___ In-house sequence systems

___ Commercial ___ Oligomer ___ Score/Length

___ Interference ___ SPDI ___ Encode/Transl

_____ Other (specify)

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     FILE 'REGISTRY' ENTERED AT 16:07:42 ON 24 FEB 2006
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L2             0 S L1
L3             0 S L1 FUL
L4               STR L1
L5             2 S L4
L6            15 S L4 FUL

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L4               STR
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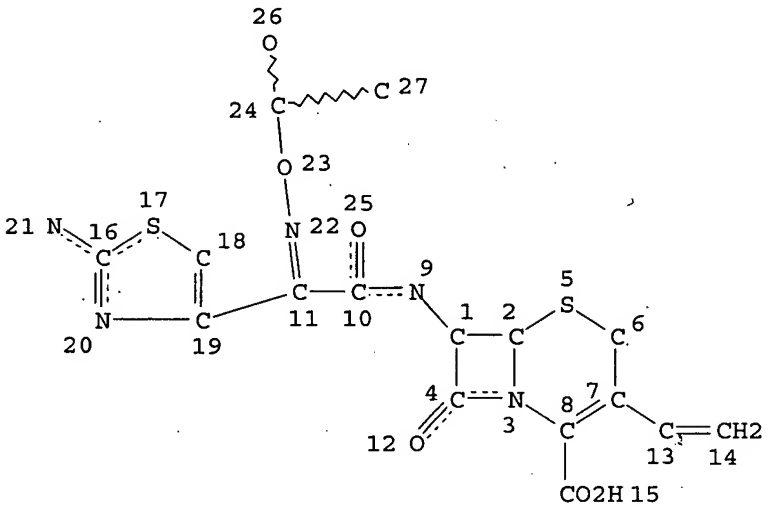


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NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  27

STEREO ATTRIBUTES: NONE
L6            15 SEA FILE=REGISTRY SSS FUL L4

100.0% PROCESSED     187 ITERATIONS                          15 ANSWERS
SEARCH TIME: 00.00.01



L7     SCREEN CREATED


=> search
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ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):l6
ENTER SUBSET SEARCH SCOPE - SAMPLE, FULL, RANGE, OR (END):ful


Prepared by: Mary Hale @2-2507 Rem Bldg 1D86
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FULL SUBSET SEARCH INITIATED 16:13:43
FULL SUBSET SCREEN SEARCH COMPLETED 11 ANSWERS
SEARCH TIME: 00.00.01

L8 11 SEA SUB=L6 SSS FUL L7

=> fil caplus;s l8

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	376.80	377.01

FILE 'CAPLUS' ENTERED AT 16:13:55 ON 24 FEB 2006

FILE COVERS 1907 - 24 Feb 2006 VOL 144 ISS 10
FILE LAST UPDATED: 23 Feb 2006 (20060223/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

L9 6 L8

=> d 1-6 ibib abs hitstr

L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:79174 CAPLUS
DOCUMENT NUMBER: 144:170818
TITLE: Preparation of tertiary amine salts of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir
INVENTOR(S): Kremminger, Peter; Silberberger, Herbert
PATENT ASSIGNEE(S): Sandoz AG, Switz.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008160	A1	20060126	WO 2005-EP7958	20050721

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
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NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,
ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-16379 A 20040722

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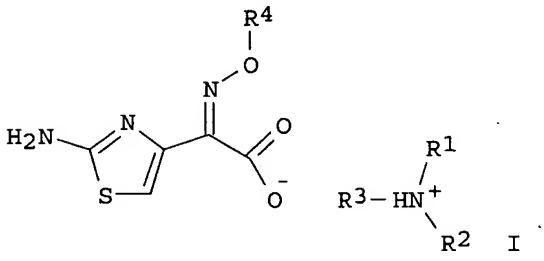


I

AB Crystalline tertiary amine salts of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid compds. of formula (I) (R1, R2, R3 = independently unsubstituted or substituted alkyl, cycloalkyl or aryl; R4 = acyl) are prepared These salts may be obtained in anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 25.0 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid monohydrate (water content: 8.0%) was suspended in 20 mL acetone at ambient temperature and 5.2 mL tributylamine was added. The mixture was cooled to -10° and stirred at this temperature for 60 and filtered to give, after washing with a small portion of cold acetone and dried in vacuum to give, 32.7 g tributylammonium syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetate (water content: 0.1%) (II). II was converted into syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid 2-benzothiazolyl thioester by treatment with bis(benzothiazol-2-yl) disulfide and then condensed with 7-amino-3-vinyl-cephem-4-carboxylic acid to give 7-[2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetamido]-3-vinylcephem-4-carboxylic acid phosphate which was converted into cefdinir by treatment with a mixture of concentrated H2SO4 in MeOH.

IT **663170-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tertiary amine salts of 2-(2-aminothiazole-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

RN 663170-79-4 CAPLUS

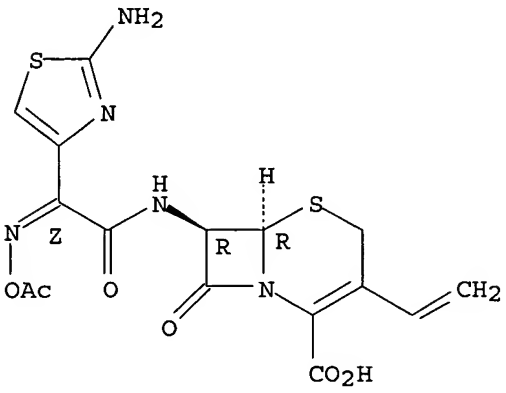
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Absolute stereochemistry.
Double bond geometry as shown.



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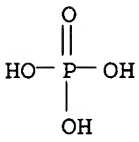
CRN 7664-38-2
CMF H3 O4 P

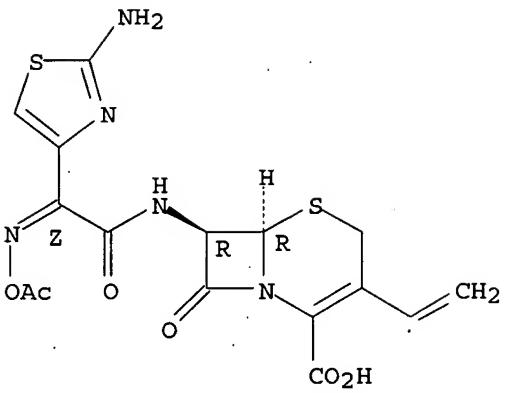


IT **874438-71-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of tertiary amine salts of 2-(2-aminothiazole-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)
RN 874438-71-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

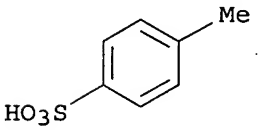
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:76118 CAPLUS
DOCUMENT NUMBER: 144:170817
TITLE: Preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir
INVENTOR(S): Kremminger, Peter; Silberberger, Herbert
PATENT ASSIGNEE(S): Sandoz AG, Switz.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006008161	A1	20060126	WO 2005-EP7963	20050721

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: GB 2004-16380 A 20040722

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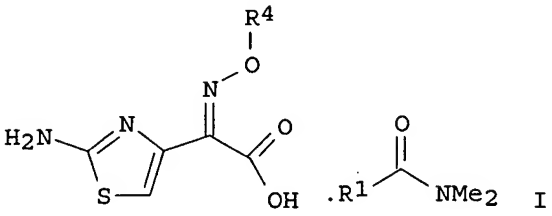


I

AB Crystalline N,N-dimethylalkamide solvates of 2-(2-aminothiazole -4-yl)-2(acyloxyimino)acetic acid compds. of formula (I) [R1 = H, (un)substituted alkyl; R4 = acyl] are prepared These compds. may be prepared in an anhydrous form and are useful in a reaction step with an activating agent in order to produce cefdinir. Thus, 15.0 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid dihydrate (H2O content 13.5%) was dispensed into 54.0 mL N,N-dimethylacetamide at 50° and stirred for 90 min. The crystalline suspension was cooled to 0°, treated with 150 mL CH2Cl2 and the white crystals were filtered, washed three times, each with 30 mL CH2Cl2, and dried over night in vacuum at 30° to give 15,9 g syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid N,N-dimethylacetamide solvate (II) (water content 0.4 %). II was converted into syn-2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetic acid benzothiazol-2-yl thioester by treatment with bis(benzothiazol-2-yl) disulfide followed by amidation with 7-amino-3-vinylcephem-4-carboxylic acid and acidification with phosphoric acid to give 7-[2-(2-aminothiazol-4-yl)-2-[[(methylcarbonyl)oxy]imino]acetamido]-3-vinylcephem-4-carboxylic acid phosphate (III). Cefdinir was obtained by treatment of III with a mixture of concentrated H2SO4 and MeOH.

IT **663170-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)

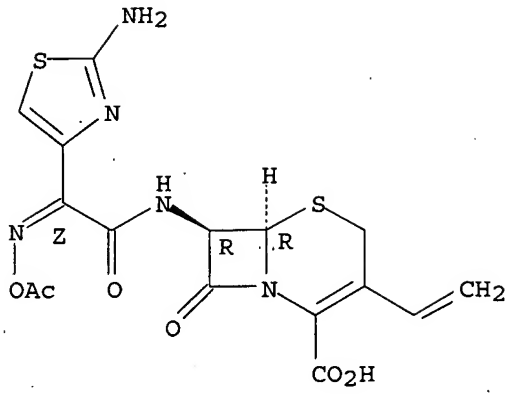
RN 663170-79-4 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

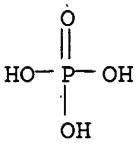
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-38-2
CMF H3 O4 P

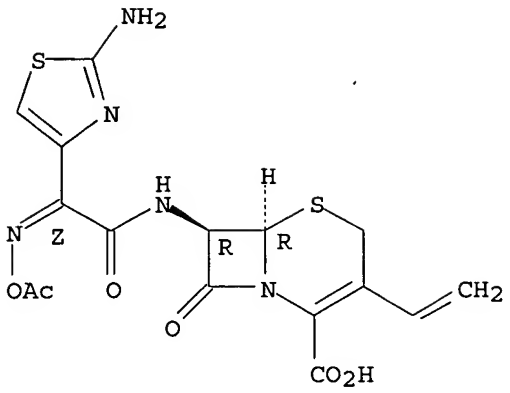


IT **874438-71-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkamide solvates of 2-(2-aminothiazol-4-yl)-2-(acyloxyimino)acetic acid as intermediates for cefdinir)
RN 874438-71-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

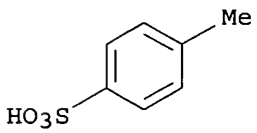
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:162698 CAPLUS
DOCUMENT NUMBER: 140:217437
TITLE: Process for the preparation of cefdinir intermediate
INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher, Johannes
PATENT ASSIGNEE(S): Sandoz G.m.b.H., Austria
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016623	A1	20040226	WO 2003-EP8944	20030812

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RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

AU 2003255424	A1	20040303	AU 2003-255424		20030812
EP 1554289	A1	20050720	EP 2003-787771		20030812
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JP 2006500356	T2	20060105	JP 2004-528469		20030812
US 2006025586	A1	20060202	US 2005-524397		20050211
PRIORITY APPLN. INFO.:			AT 2002-1223	A	20020813
			AT 2002-1588	A	20021018
			WO 2003-EP8944	W	20030812

OTHER SOURCE(S): MARPAT 140:217437

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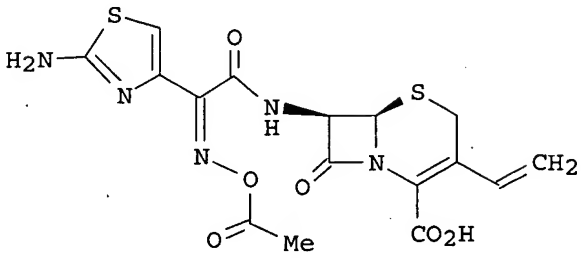


I

AB A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl-, HSO4-,RYO3-, H2NSO3-, 1/2(SO4)2-; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)2-(methylcarbonyloxyimino)-acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.

IT **663170-77-2P 663170-78-3P 663170-79-4P**
RL: IMF (Industrial manufacture); PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and X-ray diffraction measurements of intermediates in the production of cefdinir)

RN 663170-77-2 CAPLUS

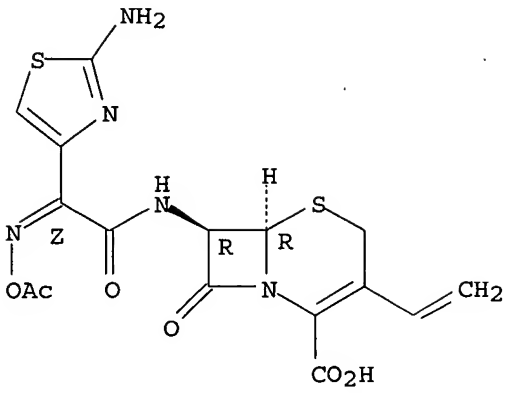
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8
CMF C16 H15 N5 O6 S2

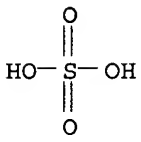
Absolute stereochemistry.
Double bond geometry as shown.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86



CM 2

CRN 7664-93-9
CMF H2 O4 S

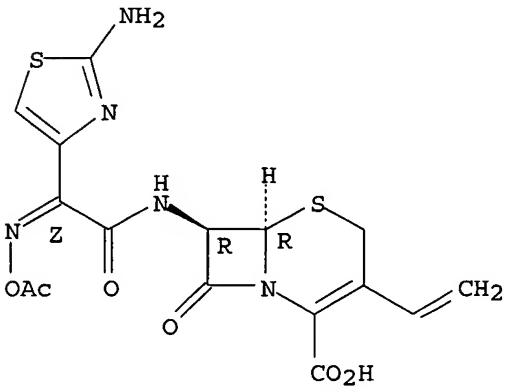


RN 663170-78-3 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



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CRN 7664-93-9
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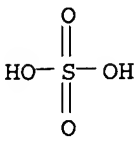
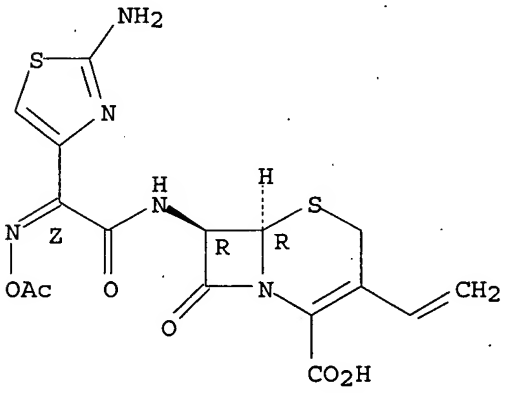


RN 663170-79-4 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphate (1:1) (9CI) (CA INDEX NAME)

CM 1

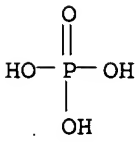
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 7664-38-2
CMF H3 O4 P

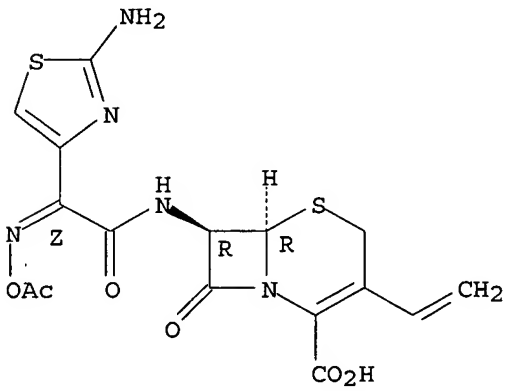


IT **443874-49-5P 663170-80-7P 663170-81-8P 663170-82-9P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

(Preparation)
(process and intermediates in the production of cefdinir)
RN 443874-49-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



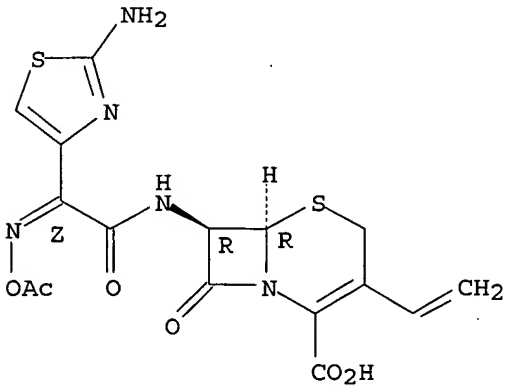
● HCl

RN 663170-80-7 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, phosphonate (1:1) (9CI) (CA INDEX NAME)

CM 1

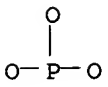
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 13598-36-2
CMF H3 O3 P

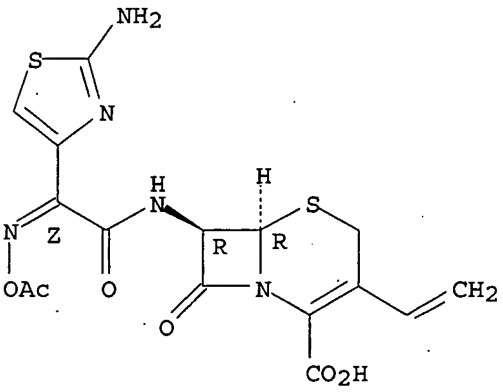


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
RN 663170-81-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, monosulfamate (9CI) (CA INDEX NAME)

CM 1

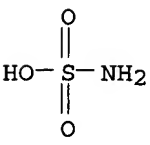
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 5329-14-6
CMF H3 N O3 S



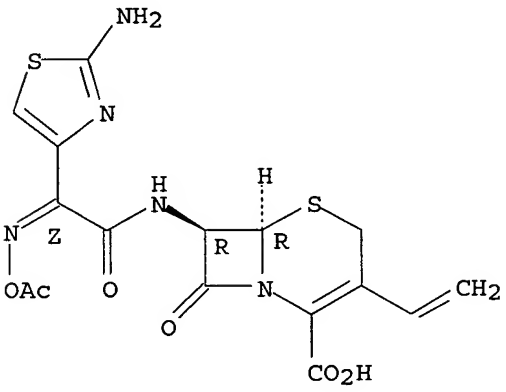
RN 663170-82-9 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, (6R,7R)-, monobenzenesulfonate (9CI) (CA INDEX NAME)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

CM 1

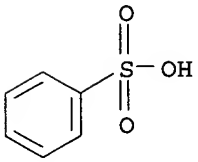
CRN 127770-93-8
CMF C16 H15 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 98-11-3
CMF C6 H6 O3 S



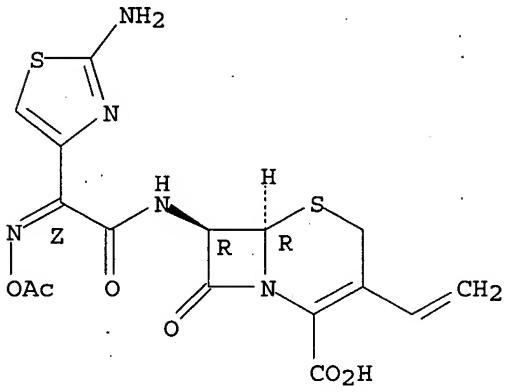
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:880903 CAPLUS
DOCUMENT NUMBER: 137:125013
TITLE: Synthesis of cefdinir
AUTHOR(S): Lin, Gui-chun; Liu, Li; Ma, Ling-tai; Min, Ji-mei; Zhang, Li-he
CORPORATE SOURCE: Natl. Res. Lab. Natural Biomimetic Drugs, Peking Univ., Beijing, 100083, Peop. Rep. China
SOURCE: Hecheng Huaxue (2001), 9(5), 383-385
CODEN: HEHUE2; ISSN: 1005-1511
PUBLISHER: Hecheng Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:125013
AB Cefdinir was synthesized via the condensation of 2-(2-aminothiazol-4-yl)-2-(Z)-(acetyinmino)acetyl chloride with 7-amino-3-vinyl-3-cephem-4-carboxylic acid. Under the optimization reaction conditions 60% total yield was achieved.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

IT **443874-49-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of cefdinir)
RN 443874-49-5 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2Z)-[(acetyloxy)imino](2-amino-4-thiazolyl)acetyl]amino]-3-ethenyl-8-oxo-, monohydrochloride, (6R,7R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.

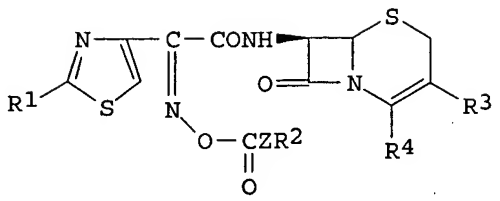


● HCl

L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1986:33941 CAPLUS
DOCUMENT NUMBER: 104:33941
TITLE: Cephem derivatives
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 24 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60105683	A2	19850611	JP 1983-212461	19831114
JP 02027998	B4	19900620		
PRIORITY APPLN. INFO.:			JP 1983-212461	19831114

GI



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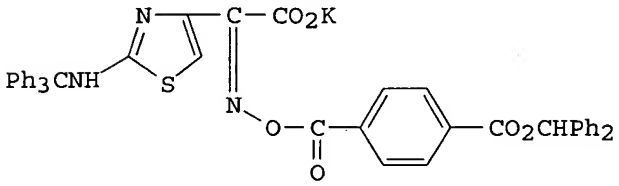

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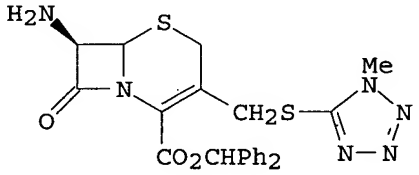


III

AB Cephem derivs. (I; R1 = NH2, protected NH2; R2, R4 = CO2H, protected CO2H; R3 = H, halo, alkylthio, etc.; Z = C2-10 alkylene, phenylene, cycloalkylene), effective antibacterials at 0.025-12.5 μg/mL were prepared Thus, 5% HCl was added to a suspension of 380 mg syn-II in EtOAc-THF to pH 2.5 under cooling, 70 mg 1-hydroxybenzotriazole and 250 mg III were added to solution, 103 mg DCC added to 5° and stirred to give 310 mg syn-I (R1 = Ph3CNH, R2Z = p-C6H4CO2CHPh2, R3 = 1-methyl-1,2,3,4-tetrazol-5-ylthiomethyl, R4 = CO2CHPh2).

IT **99743-93-8P 99744-01-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

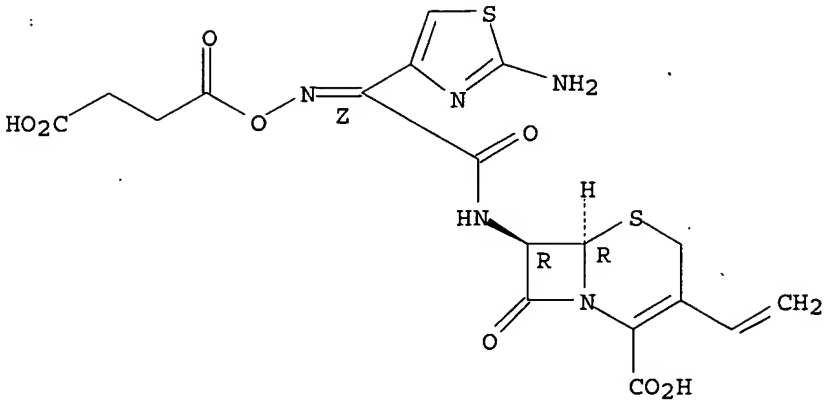
RN 99743-93-8 CAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(3-carboxy-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

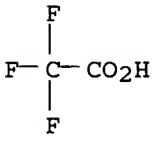
CRN 99743-92-7
CMF C18 H17 N5 O8 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

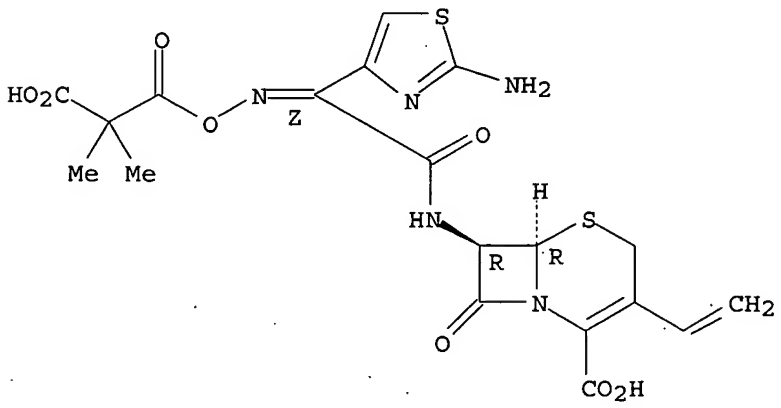


RN 99744-01-1 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2-carboxy-2-methyl-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

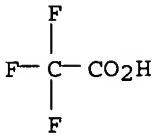
CRN 99744-00-0
CMF C19 H19 N5 O8 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

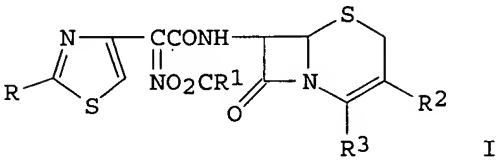
CRN 76-05-1
CMF C2 H F3 O2



L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:113176 CAPLUS
DOCUMENT NUMBER: 102:113176
TITLE: Novel cephem compounds
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59184186	A2	19841019	JP 1983-57465	19830401

PRIORITY APPLN. INFO.: JP 1983-57465 19830401
GI



I

AB Cephems I (R = amino, protein amino; R1 = alkyl; R2 = vinyl, alkylthio, CH:CHCO2R4, CH2CO2R5; R3 = CO2H, protected carboxyl; R4; R5 = H, alkyl) were prepared Thus, amidation of syn-2-(2-tritylaminothiazol-4-yl)-2-(pivaloyloxyimino)acetic acid with diphenylmethyl 7-amino-3-vinyl-3-cephem-4-carboxylate followed by hydrolysis with Cl3CCO2H gave syn-I.Cl3CCO2H (R = NH2, R1 = Me3C, R2 = vinyl, R3 = CO2H). The latter compound showed broad spectrum bactericidal activity.

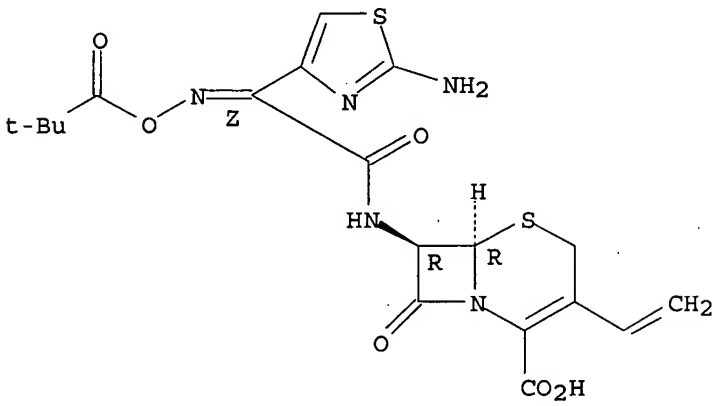
IT **94796-36-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and bactericidal activity of)
RN 94796-36-8 CAPLUS
CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl)[(2,2-dimethyl-1-oxopropoxy)imino]acetyl]amino]-3-ethenyl-8-oxo-, [6R-[6α,7β(Z)]]-, trichloroacetate (9CI) (CA

INDEX NAME)

CM 1

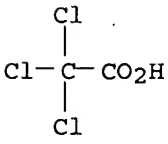
CRN 94796-35-7
CMF C19 H21 N5 O6 S2

Absolute stereochemistry.
Double bond geometry as shown.



CM 2

CRN 76-03-9
CMF C2 H Cl3 O2



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FULL ESTIMATED COST	31.12	408.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-4.50	-4.50

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

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FULL ESTIMATED COST                                       0.44     408.57

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                       0.00      -4.50

FILE 'MEDLINE' ENTERED AT 16:14:24 ON 24 FEB 2006

FILE 'BIOSIS' ENTERED AT 16:14:24 ON 24 FEB 2006
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FILE 'EMBASE' ENTERED AT 16:14:24 ON 24 FEB 2006
Copyright (c) 2006 Elsevier B.V. All rights reserved.


L11           0 FILE MEDLINE
L12           0 FILE BIOSIS
L13           0 FILE EMBASE

TOTAL FOR ALL FILES
L14           0 L8

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L4              STR L1
L5            2 S L4
L6           15 S L4 FUL
L7              SCR 2127
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L9            6 S L8

     FILE 'CAOLD' ENTERED AT 16:14:16 ON 24 FEB 2006
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Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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L12            0 FILE BIOSIS
L13            0 FILE EMBASE
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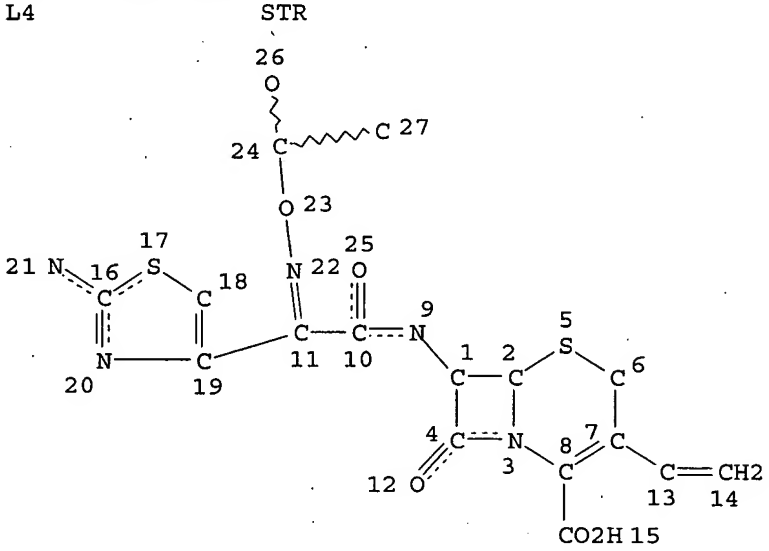


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L7               SCR 2127
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                                                   ENTRY    SESSION
CA SUBSCRIBER PRICE                                0.00      -4.50


Prepared by: Mary Hale @2-2507 Rem Bldg 1D86
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STN INTERNATIONAL LOGOFF AT 16:14:53 ON 24 FEB 2006

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86